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(54) Title: CYCLIC PEPTIDE DERIVATIVES AS INHIBITORS OF INTEGRIN  $\alpha_v\beta_6$

(54) Bezeichnung: CYCLISCHE PEPTIDDERIVATE ALS INHIBITOREN DES INTEGRINS  $\alpha_v\beta_6$

(57) Abstract: The invention relates to novel peptide derivatives of formula (I): Cyclo-(Arg-X<sup>1</sup>-Asp-X<sup>2</sup>-X<sup>3</sup>-X<sup>4</sup>-X<sup>5</sup>-X<sup>6</sup>-R<sup>1</sup>); which are biologically active as ligands of integrin  $\alpha_v\beta_6$ , X<sup>1</sup> representing Ser, Gly or Thr; X<sup>2</sup> representing Leu, Ile, Nle, Val or Phe; X<sup>3</sup> representing Asp, Glu, Lys or Phe; X<sup>4</sup> representing Gly, Ala or Ser; X<sup>5</sup> representing Leu, Ile, Nle, Val or Phe; X<sup>6</sup> representing Arg, Har or Lys; and R<sup>1</sup> being left out or representing one or more  $\omega$ -aminocarboxylic acid radicals, said  $\omega$ -aminocarboxylic acid radical(s) being 500 to 2500 pm in length. Said amino acids can also be derivatised and the D and L forms of the optically active amino acid radicals are enclosed. The invention also relates to the physiologically suitable salts and solvates of the inventive derivatives.

(57) Zusammenfassung: Die Erfindung beschreibt neuartige Peptidderivate der Formel (I), welche als Liganden des Integrins  $\alpha_v\beta_6$  biologisch wirksam sind: Cyclo-(Arg-X<sup>1</sup>-Asp-X<sup>2</sup>-X<sup>3</sup>-X<sup>4</sup>-X<sup>5</sup>-X<sup>6</sup>-R<sup>1</sup>), worin X<sup>1</sup> Ser, Gly oder Thr, X<sup>2</sup> Leu, Ile, Nle, Val oder Phe, X<sup>3</sup> Asp, Glu, Lys oder Phe, X<sup>4</sup> Gly, Ala oder Ser, X<sup>5</sup> Leu, Ile, Nle, Val oder Phe, X<sup>6</sup> Arg, Har oder Lys, R<sup>1</sup> fehlt oder einen oder mehrere  $\omega$ -Aminocarbonsäurerest(e), wobei der oder die  $\omega$ -Aminocarbonsäurerest(e) eine Länge von 500 bis 2500 pm aufweisen, bedeuten, wobei die genannten Aminosäuren auch derivatisiert sein können, die D- als auch die L-Formen der optisch aktiven Aminosäurereste eingeschlossen sind, sowie deren physiologisch unbedenklichen Salze und Solvate.